Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1600RXA

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * Welcome to STN International NEWS Web Page URLs for STN Seminar Schedule - N. America NEWS "Ask CAS" for self-help around the clock NEWS New pricing for the Save Answers for SciFinder Wizard within SEP 01 STN Express with Discover! KOREAPAT now available on STN NEWS OCT 28 NOV 18 NEWS Current-awareness alerts, saved answer sets, and current search transcripts to be affected by CERAB, COMPUAB, ELCOM, and SOLIDSTATE reloads NEWS 6 NOV 30 PHAR reloaded with additional data 7 DEC 01 LISA now available on STN NEWS NEWS 8 DEC 09 12 databases to be removed from STN on December 31, 2004 NEWS 9 DEC 15 MEDLINE update schedule for December 2004 NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),. AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004 **NEWS HOURS** STN Operating Hours Plus Help Desk Availability NEWS INTER General Internet Information NEWS LOGIN Welcome Banner and News Items NEWS PHONE Direct Dial and Telecommunication Network Access to STN NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 08:02:48 ON 17 DEC 2004

=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 08:02:55 ON 17 DEC 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2004 HIGHEST RN 798532-74-8 DICTIONARY FILE UPDATES: 15 DEC 2004 HIGHEST RN 798532-74-8

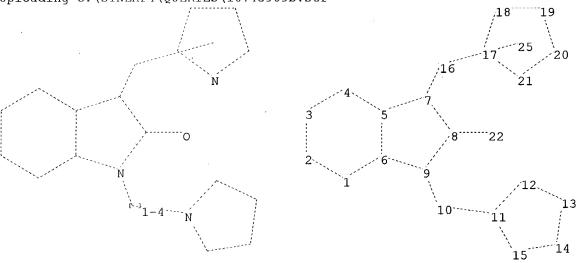
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10743909b.str



chain nodes : 10 16 22 ring nodes : 5 6 7 8 11 12 13 14 15 17 18 chain bonds : 7-16 8-22 9-10 10-11 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-15 12-13 13-14 14-15 17-21 17-18 18-19 19-20 20-21 exact/norm bonds : isolated ring systems : containing 1 : 11 : 17 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

N 0 0 1 1 - 4 - N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 08:03:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 103 TO ITERATE

100.0% PROCESSED 103 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

1452 TO 2668

PROJECTED ANSWERS:

0 TO

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 08:03:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1994 TO ITERATE

100.0% PROCESSED 1994 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

L3 6 SEA SSS FUL L1

=> s l3 and caplus/lc 41713262 CAPLUS/LC L4 6 L3 AND CAPLUS/LC

=> fil caplus

Page 4 12/17/2004

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 159.85 160.06

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 08:03:33 ON 17 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 17 Dec 2004 VOL 141 ISS 25 FILE LAST UPDATED: 15 Dec 2004 (20041215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 14 L5 6 L4

=> d ibib abs hitstr 1-6

Page 5 12/17/2004

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434298 CAPLUS
DOCUMENT NUMBER: 133:12285
Fharmaceutical formulations comprising indolinone derivatives
Gao, Ping: Sistla, Anand: Shenoy, Narmada
SOURCE: 500EC: 11. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: 5 Patent DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

•																					
3	PATENT NO.							DATE		APPLICATION NO.											
-						A2 20030605															
											WU Z	002-		20021121							
٧	WO.	2003																			
		W:	AE,	AG,	AL,	AM,	AT,	ΑIJ,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,			
			co.	CR.	CU.	CZ.	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,			
			GM.	HR.	HU.	ID.	IL.	IN,	IS.	JP.	KE.	KG,	KP.	KR.	KZ,	LC,	LK,	LR.			
								MD,													
								SD,													
								VC,						,	,	,					
		DLT.						HZ,						7 M	7U	MΔ	Δ7	RΥ			
		HW:						TM,													
								ΙT,								BF,	в,	CF,			
								GQ,													
τ	JS	2003	1763	99		A1		2003	0918		US 2	002-	3009	30		21	0021	121			
F	EΡ	1453	502			A2		2004	0908		EP 2	002-	7845	21		21	0021	121			
		R:	AT.	BÉ.	CH.	DE.	DK.	ES.	FR.	GB.	GR.	IT.	LI,	LU,	NL,	SE,	MC.	PT,			
								RO,													
	σn	2002															0021	121			
PRIORI																					
PRIORI		MPP.	LIN.	IMPO	• •						wo 2						0021				
											WU Z	002-	0337	233		* 2	0021	121			

OTHER SOURCE(S):

MARPAT 139:12285

AT the present invention is directed to formulations comprising

3-(pyrrol-2-ylmethylidene)-2-indolinone derivs. that modulate the activity
of protein kinases (FK). Methods of treating diseases related to abnormal
PK activity utilizing the formulations comprising these compds. and
methods of making these formulations are also disclosed. Thus,
(32)-3-(13,5-dimethyl-IN-pyrrol-2-yl) methylidene]-1-(1-pyrroldinylmethyl)1,3-dihydro-2H-indol-2-one was prepared by the reaction of pyrrolidine and
HCNO with 3-(3,5-dimethyl-IN-pyrrol-2-yl)methyldene]-1,3-dihydro-2H-indol-2-one in MeOH (I). The stability of I was determined A formulation

contained

I 1.00, lactic acid to pH 2.0-25, and captisel 20 mg/mL, and water for
injection to 1.00 mL.

IT 375397-20-59
RL: SPN (Synthetic preparation): THU (Therapeutic use); BIOL (Biological

375397-20-59
RL: SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological Study): PREP (Preparation): USES (Uses) (pharmaceutical formulations comprising indolinone derivs.)
375397-20-5 CAPUS
2H-Indol-2-one, 3-[(3,5-dimethyl-lH-pyrrol-2-yl)methylene]-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (32)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:154170 CAPLUS
DOCUMENT NUMBER: 138:180703
TITLE: Combination therapy for the treatment of cancer
INVENTOR(S): Document TASSIGNEE(S): Note: 1200 Not

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	PATENT NO.						KIND DATE			APPLICATION NO.							DATE			
WO	2003	0156	08		Λ2	-	2003	0227		WO 2	002-	US25	797			0020				
wo	2003	0156	08		A3		2003	1030												
	W:	AE.	AG.	AL.	AM.	AT.	AU,	AZ.	BA,	BB,	BG,	PR.	BY,	PZ.	CA,	CH,	CN,			
							DK.													
		GM.	HR.	HU.	ID,	IL.	IN.	IS,	JP,	KE.	KG,	KP,	KR,	KZ.	LC,	LK.	LR.			
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,			
		PL,	PT,	RO,	RU,	50,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,			
		UA,	IJG,	us,	02,	VC,	VN,	YU,	ZA,	ZM,	26									
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,			
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	E5,			
		FI,	FR,	GB,	GR,	IE.	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	BJ,	CF,			
		CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG						
US	2003	2164	10		A1		2003	1120		บร 2	002-	2189	10		2	0020	815			
BR	2002	0119	78		A'		2004	0720		FR 2	002-	1197	9		2	0020	915			
PRIORITY	Y APP	LN.	INFO	. :						US 2	001-	3124	13P	1	P 2	0010	B 15			
										WO 2	002-	บร25'	797	1	2	0020	815			

MARPAT 138:180703

OTHER SOURCE(S):

The present invention relates to methods for treatment or prevention of neoplasia disorders using protein tyrosine kinase inhibitors in combination with cyclocxygenase inhibitors, in particular cyclocxygenase-2 selective inhibitors. The protein kinase inhibitors are of the formula I where R = H, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, tc.: R1 = H, halo, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, etc.: R2 = hydrogen, halo, alkyl, substituted alkyl, trihalomethyl, hydroxy, alkoxy, etc., R3 = H, halogen, alkyl, substituted alkyl, trihalomethyl, hydroxy, alkoxy, aryl, heteroaryl, etc.: R4 = H, halogen, alkyl, substituted alkyl, trihalomethyl, hydroxy, alkoxy, aryl, alkoxy, etc.; R5 = H, alkyl, substituted alkyl, etc.; R6 = hydrogen, alkyl, substituted alkyl, etc.; and R7 = H, alkyl, substituted substi

L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN aryl, heteroaryl, etc.

IT 375387-20-5P (Continued)

SINJUI-ZU-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic uwe); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(combination therapy for treatment of cancer using protein tyrosine kinase inhibitors and cyclooxygenase-2 inhibitors)
375397-20-5 CAPLUS
2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (32)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Page 6 12/17/2004

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002;793619 CAPLUS DOCUMENT NUMBER: 137:294870 Frenchischer Prenchischer Prenchi Preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinones and activity as modulators of protein kinases

INVENTOR (S):

kinases Sun, Connie Li; Wei, Chung Chen; Tang, Peng Cho; Krenig, Marcel; Zhou, Yong; Vojkovsky, Tomas; Nemutalla, Asaad S. Sugen, Inc., USA PCT Int. Appl., 194 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT	NO.								APPL	I CAT	I ON	NO.		D	ATE	
						-									-		
	WO 2002081466					A1 20021017				wo 2	002-		20020409				
	W:	AE.	AG.	AL.	AM.	AT,	AU,	AZ.	BA,	БB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN
		CO.	CR.	CU.	CZ.	DE.	DK,	DM.	DZ.	EC.	EE,	ES,	FI,	GB,	GD,	GE,	GH
		GM	HR.	HO.	ID.	11	IN,	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC,	LK.	LR
		10	TT	TII	LV	МΔ	MD,	MG.	MK.	MN.	MW.	MX.	MZ.	NO.	NZ.	OM.	PH
		DI.	DT,	DO,	DII	SD.	SE,	56	SI	SK.	SI.	T.I.	TM.	TN.	TR.	TT.	TZ
		F L,	11,	no,	117	VM	YU,	75	7M	7W	AM	A7	BV	KC.	K2	MD.	BII
				05,	υ2,	¥14,	10,	LA,	41,	L.,	,u,,	n.,		ACC.	м.,	,	
			TM					c n	C.T		4.7	110	714	7767	B.TT	202	CH
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	50,	SL,	54,	12,	00,	201,	2w,	AI,	pe,	Cii
							FR,										
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	5N,	TD,	TG
	US 2003									US 2	002-	1183	21		2	0020	409
	US 6797	7725			62		2004	0928									
	US 2004	1861	61		A1		2004	0923		US 2	004-	8169	57		2	0040	405
PRIOR	RITY APP									US 2	001-	2826	30P		P 2	0010	409
										US 2	002-	1183	21		A3 2	0020	409
OTHEI GI	SOURCE	E(S):			MAR	PAT	137:	2948									

The present invention relates to pyrrole substituted 2-indolinone compds. (shown as I: e.g. 3-[1-(3,5-dimethyl-1H-pyrrol-2-yl)meth-(2)-ylidene)-2-oxo-2,3-dihydroindole-1-carbonyl chloride) and their pharmaceutically acceptable salts which modulate the activity of protein kinases and

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) therefore are expected to be useful in the prevention and treatment of protein kinase related cellular disorders such as cancer (no data). In I, Rl and R2 are independently H, halo, alkyl, alkylthio, nitro, trihalomethyl, hydroxy, hydroxyalkyl, alkoxy, cyano, aryl, heteroaryl, -(CO)R7 (R7 is alkyl, maino, hydroxy, alkyn, aryl, heteroaryl, aryloxy, heteroaryloxy, heterocycle, and aminoalkylamino), -MR8R, -NR8C(O)R9, -502R8, and -5(O)2RR8R9 (R8 and R9 are independently H, alkyl, aryl and heteroaryl, or R8 and R9 together with the N to which they are attached form a satil. heterocycloamino). R3 is H, alkyl, hydroxyalkyl, aminoalkyl, -C(O)R7, aryl, and heteroaryl; R8 is H and -CORIO where R10 is alkyl, alkoxy, hydroxy, aryl, aryloxy, heteroaryl, heterocycle, alkylaminoalkyl, hydroxyalkyl, aryl, and heteroaryl; R8 is H and -CORIO where R10 is alkyl, alkoxy, hydroxy, aryl, aryloxy, heteroaryl, heterocycle, alkylamino, dialkylamino, or -MR1RR12 where R11 is H or alkyl, and R12 is aminoalkyl, hydroxyalkyl, cryanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl whorein his 0 to 3, provided that n+m is 3. R6 is: (c) -(G12)mCO(CH2)n- wherein n is 0 to 3, provided that n+m is 3. R6 is: (c) -(G12)mCO(CH2)n- wherein n is 0 to 3, provided that n+m is 3. R6 is: (c) -(G12)mCO(CH2)n- wherein the alkyl chain in carboxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, hydroxyalkyl, annoalkyl, heteroaralkyl, heterocyclyl, monosaccharides and heterocyclylalkyl wherein the alkyl chain in carboxyalkyl, aminoalkyl, hydroxyalkyl, ariloxyalkyl, ariloxyalkyl,

RL: PAC (Pharmacological activity), SFN (Synthetic preparation), THU (Therapeutic use); BIOL (Biological study), PREP (Preparation), USES (Uses)

(Uses)
(precip kinase modulator prodrug; preparation of prodrugs of (pyrrolylmethylidene)indolinones and activity as modulators of protein kinases)
4845-11-1 CAPLUS
Le-Proline, 1-[((32)-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-2,3-dihydro-2-oxo-1H-indol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2001:868450 CAPLUS DOCUMENT NUMBER: 136:5903

136:5903
Preparation of 1-(pyrrolidin-1-ylmethyl)-3-(pyrrol-2-ylmethylidene)-2-indolinones as protein kinase activity modulators.
Moon, Malcolm Wilson: Morozowich, Walter: Gao, Ping Pharmacia & Upjohn Company, USA
PCT Int. Appl., 83 pp.
CODEN: PIXXD2 TITLE:

INVENTOR(S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE:

English 3 FAMILY ACC. NUM. COUNT:

PA	TENT	NO.								APPL	ICAT	ION	NO.		D	DATE		
		0901			A2 20011129 A3 20020613				wo 2		20010524							
wo	200. W:	10901	04				AU,			ממ	P.C.	DD	пv	B7	CA	CNI	CN	
	w:	AE,	AG,	AL,	AM,	WI,	DK,	me,	DA,	EC,	EF.	PC,	PI,	GB,	GD,	CF.	CH	
		CU,	UK,	UU,	TD.	TI.	IN,	TC,	JD,	EC,	KC.	ND,	N.D.	KZ,	I.C	LK.	I.R	
		un,	nn,	no,	TV	ш,	MD,	MG.	MY,	MN.	MW.	MX,	M7	NO.	NZ.	PI.	PT	
		LD,	PI,	LO,	CF.	ec,	SI,	ev.	CI.	T.T	TM	TD.	TT.	T2.	IIA.	UG.	us	
		KO,	KU,	SU,	3D,	2U,	AM,	17	DV,	VC.	177	MD	RII	T.T.	TM.	· · · · ·	**	
	DET	GH,														CH	CV	
	KW:	on,	um,	KE,	EI,	DW,	GB,	CD,	TP,	77	111	MC,	NI.	DT.	SE.	TR	BE	
~.	2400	DU,	Cr,	ÇG,	C1,	un,	2001	1120	Ow,	ייייי	001-	2460	367	10,	٠,	0010	524	
CA	240	8J, 9367 10633 20322 0067 20351 1838	^^		**		2001	1147		XII 2	001-	£330	٥		2	0010	524	
AU	200	10033	33		A3		2001	0214		116 2	001-	0533	04		2	0010	524	
05	200.	10522	04		W1		2002	0333		03 2	001-	0000			-	0010		
02	0/11	1001	40		D2		2004	0323		110 2	nn1_	0630	ns		,	0010	524	
05	200	10351	40		VI		2002	0017		03 2	001-	0033	••		•	0010	J. 1	
116	200	20270	70		31		2002	0320		110 2	001_	9639	10		2	กกาก	524	
110	648	20210	10		MI MI		2002	1110		05 2	001	0000	.,		-			
	129						2003			ED 2	nn1_	9376	A7		2	กกาก	524	
Er		AT,																
	κ.	TP,	gt,	TT.	IV	EI	PΩ	MV	ďΥ	n.r	ŦЪ							
.TD	200	35343	42	W1,	T2	,	2003	1118	٠.,	.TP 2	001-	5862	91		2	0010	524	
			65		λ1		2003 2003 2003	0306		US 2	002-	2436	63		2	0020	916	
115	200	30455 30833 5870	63		Α1		2003	0501		us 2	002-	2439	42		2	0020	916	
IIS	671	5870	~~		B2		2004	0406										
115	200	11275	42		A1		2004	0701		US 2	003-	4298	95		2	0030	505	
IIS	200	11275	44		A1		2004	0701		US 2	003-	7439	09		2	0031	224	
RIT'	YAPI	LN.	INFO							ite 2	AAA_	2070	ann		D 2	ስስሰሰ	524	
				• •						US 2	000-	2250	45P		P 2	0000	811	
										US 2	001-	8638	04		A1 2	0010	524	
										US 2	001-	8638	19		A3 2	0010	524	
										US 2	001-	8639	05		A1 2	0010	524	
									,	WO 2	001-	US16	756		w 2	0010	524	
											002-		~~					

OTHER SOURCE(S):

MARPAT 136:5903

Page 7 12/17/2004

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

$$\begin{array}{c|c} & R^{10} & R^{3} \\ & R^{3} & R^{7} \\ & R^{5} & R^{6} & R^{7} \end{array}$$

Title compds. [I: R3-k6 = H, alkyl, trihaloalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, SH, alkylthio, arylthio, etc.; 22 of R3-R6 = H; R7 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkoxy, aryloxy, etc.; R8-R10 = H; alkyl, trihaloalkyl, cycloalkyl, alkynyl, alkynyl, aryl, heteroaryl, OH, alkoxy, aryloxy, SH, alkylthio, arylthio, etc.], were prepared Thus, pyrrolidine was added to a mixture of aqueous H2CO and 3 (3,5-dimaktyl-HH-pyrrol-2-ylmethylidens)-l,3-dihydroindol-2-one in MeOH: after 15 min. the mixture was cooled to 0° and filtered to glve (32)-3-(1,5-dimethyl-HH-pyrrol-2-ylmethylidens)-l, (1-pyrrolidinylmethyl)-1,3-dihydro-ZH-indol-2-one. The latter prodrug had a half life of 7.3 min. in dogs.
373387-20-5P
RL: BSU (Biological study, unclassified): SPN (Scabbatic and alker)

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrrolidinylmethylpyrrolylmethylideneindolinones as

winase activity modulators)
375387-20-5 CAPUUS
21-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1(1-pyrrolidinylmethyl)-, (3Z)- (9CI) (CA INOEX NAME)

Double bond geometry as shown.

ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Title compds. [I: R3-R6 ≈ H, alkyl, trihaloalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkowy, arylowy, SH, alkylthio, arylthio, etc., ≥2 of R3-R6 = H: R3R4, R4R5, R5R6 = atoms to form aryl ring, CH2O, CH2OCH2; R7 = IH, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkowy, arylowy, etc.; R8-R10 ≈ H, alkyl, trihaloalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, alkowy, arylowy, SH, arylthio, etc.; Q = CHR1OR21, COR51, OP(O) (ORa) (ORb); R11 = H, alkyl, R21 = H, alkyl, R3 = H, alkyl, arylowy, SH, arylthio, etc.; Q = CHR1OR21, COR51, OP(O) (ORa) (ORb); R11 = H, alkyl; R21 = H, alkyl, argli, were prepared as prodrugs for modulators of protein kinase activity (no data). Thus, 3-(3,5-dimethyl-IH-pyrrol-2-ylmethylidene)-1,3-dihydroindol-2-one was stirred 1 h with aqueous H2CO and EtM in DMF to give (32)-3-[(3,5-dimethyl-IH-pyrrol-2-yl)methylidene)-1,3-dihydro-2H-indol-2-one. 375387-20-59
R1: BSU (Biological study, unclassified); PAC (Pharmacological activity);

375387-20-59
RL: BSU (Biological study, unclassified): PAC (Pharmacological activity):
SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)
(preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinones as modulators of protein kinase activity)
375387-20-5 CAPLUS
VALIDAD-20-3 24/15 distributions.

3/338F-2U-0 CAPUUS 2H-Indol-2-one, 3-{(3,5-dimethy)-1H-pyrrol-2-yl)methylene}-1,3-dihydro-1-(1-pyrrolidinylmethyl)-, (32)- (921) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
136:590
Preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)2-indolinones as modulators of protein kinase activity. Moon, Malcolm Wilson; Morozowich, Walter; Gao, Ping; INVENTOR(S): Koenig, Marcel Sugen, Inc., USA; Pharmacia & Upjohn Company PCT Int. Appl., 123 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

Patent English 3 DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT	NO.			KIND DATE					APPL		DATE					
	Wo	2001	0901	03		A2	-	2001	1129	,	wo 2	001-		2	0010	524		
	WO	2001	0901	03		A2 20011129 A3 20020718												
		W:	AE.	AG.	AL.	AM.	AT,	AU.	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	ÇN,
			co.	CR.	CU.	CZ.	DE.	DK.	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM.	HR.	HU.	ID.	IL.	IN.	IS.	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT.
			RO,	RU,	SD,	SE,	SG,	SI,	sĸ,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
			UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM		
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	ÇG,	CI,	CM,	GA,	GN,	G₩,	ML,	MR,	NE,	SN,	TD,	TG		
	CA	2409	430			AA		2001	1129	-	CA 2	001-	2409	430		2	0010	524
	AU	2001	0648	77		A5		2001	1203		AU 2	:001-	6487	7		2	0010	524
	US	2409 2001 2002 6710 2002	0322	04		A1		2002	0314		US 2	:001-	8638	04		2	0010	524
	US	6710	067			В2		2004	0323							_		
	US	2002	0351	40		A1		2002	0321		US 2	001-	8639	05		2	0010	524
	US	6451 2002	888			В2		2002	0917									
	US	2002	0378	78		A1		2002	0328		US 2	001-	8638	19		2	OOTO	524
	US	6482	848															
	EP	1283	835			A2		2003	0219		EP 2	:001-	9393	49	***	em	0010	324 DT
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GH,	IT,	ы,	Ļυ,	NL,	JE,	mc,	21
			IE,	SI,	LT,	LV,	FI,	RO, 2003	MK,	CY,	AL,	TR		^^		-	0010	
	JP	2003	5343	41		T2		2003	1118		JP Z	:001-	2867	90			0010	016
	US	2003	0455	65		A1		2003	0306		US 2	2002-	2430	43			0020	916
	JP US US US US US ORIT	2003	0833	63		A1		2003	0201		US 2	:002-	2439	42		-	0020	910
	US	6716	870			B2		2004	0406							•	0020	E 0 E
	US	2004	1275	42		AI		2004	0701		05 2	2003-	7420	00		2	0030	224
	US	2004	1275	44		Al		2004	0 /01		05 4	.003~	2070	005		n 2	0000	E24
RI	ORIT	APP	LN.	INFO	.:						05 2	000-	2070	460		r 2	0000	011
											UO 2	2000-	2230	401			0000	
												001-						
											US 2	.001-	0029	13		nJ 2	0010	524
											UD 2	001-	11016	741		n: 4	0010	524
														63		w 2 B1 2		

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:868415 CAPLUS
DOCUMENT NUMBER: 136:697
HADDED STREET ASSIGNEE(S): Moon, Halcolm Wilson, Morozowich, Walter, Gao, Ping;
Tang, Peng Cho
SUGEN, Inc., USA; Pharmacia & Upjohn Company
COEDE: PATENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

MARPAT 136:5902

OTHER SOURCE(S):

	TENT	NO.			KIND DATE				APP	LICAT	ION	NO.		DATE			
WO	2001	0900	68		A2 20011129 A3 20020606				wo :	2001-		20010524					
	W;	AE.	AG.	AL.	AM.	AT.	AU.	AZ.	BA.	BB.	, BG,	BR,	BY,	BZ,	CA,	CH,	CN
		co.	CR.	CU.	CZ.	DE.	DK.	DM.	DZ.	EC.	EE,	ES,	FI,	GB,	GD,	GE,	GH
		GM.	HR.	HU.	ID.	IL.	IN.	IS.	JP.	KE	KG,	KP,	KR,	KZ,	LC,	LK,	LR
		LS.	LT.	LU.	LV.	MA.	MD.	MG.	MK.	MN.	MW.	MX,	MZ,	NO,	NZ,	PL,	PT
		RO.	RU.	SD.	SE.	SG.	SI.	SK.	SL.	TJ.	TM,	TR,	TT,	TZ,	UA,	UG,	บร
		UZ.	VN.	YU.	ZA.	ZW.	AM.	AZ.	BY,	KG	KZ,	MD,	RU,	TJ,	TM		
	RW:	GH.	GM.	KE.	LS.	MW.	MZ.	SD,	SL.	SZ.	TZ.	UG,	ZW,	AT,	BE,	CH,	CY
	•	DE.	DK.	ES.	FI.	FR.	GB.	GR.	IE,	IT.	LU,	MC,	NL,	PT,	SE,	TR,	BF
		BJ.	CF.	CG.	CI.	CM	GA	GN.	GW.	MT.	MR.	NE.	SN.	TD.	TG		
CA	2408	709			AA		2001	1129		CA :	2001-	2408	709		2	0010	524
AU	2001	709 .0648 .0322 .067 .0351 .838 .0378 .848	85		A5		2001	1203		AU :	2001- 2001- 2001-	6488	5		2	0010	524
US	2002	0322	04		A1		2002	0314		US :	2001-	8638	04		2	0010	524
US	6710	067			B2		2004	0323									
US	2002	0351	40		A1		2002	0321		us :	2001-	8639	05		2	0010	524
US	6451	838			B2		2002										
US	2002	0378	78		A1		2002	0328		US :	2001-	8638	19		2	0010	524
US.	6482	848			B2		2002										
EP	1301	507			A2		2003	0416		EP 2	2001-	9393	57		2	0010	524
	R:	AT.	BE.	CH.	DE.	DK.	ES.	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SÈ,	MC,	P
		IE.	SI,	LT.	LV.	FI.	RO.	MK.	CY.	AL,	, TR						
JP	2003	5343	23		T2		2003	1119		JP :	2001-	5862	57		2	0010	524
US	2003	0455	65		A1		2003	0306		US 2	2002-	2436	63		2		
US	2003	10833	63		A1		2003	0501		us :	2002-	2439	42		2	0020	916
US	6716	10833 10833			В2		2004	0406									
US	2004	1275	42		A1		2004	0701		us :	2003-	4298	95		2	0030	505
US	2004	1275	44		A1		2004	0701									
		LN.								US :	2000-	2070	00P		P 2	0000	524
										US :	2000-	2250	45P		P 2	0000	811
										us :	2001-	8638	04		Al 2	0010	524
										US :	2001-	8638	19		A3 2	0010	524
										US :	2003- 2000- 2000- 2001- 2001- 2001-	0639	05		A1 2	0010	524
										₩O :	2001-	US16	757	,	₩ 2	0010	524
										US :	2002-	2436	63		B1 2	0020	916

wu 2001-US16757 W 20010524

RR SOURCE(S): MARPAT 136:697 US 2002-243663 B1 20020916

RR SOURCE(S): MARPAT 136:697 Manich base prodrugs of certain 3-(pyrrol-2-y-lnethylidene)-2-indolinone derivs. that modulate the activity of protein kinases ("PKe"). Pharmaceutical compns. comprising these compds, methods of treating diseases related to shormal PK activity utilizing pharmaceutical compns. comprising these compds. and methods of preparing them are also disclosed.

375367-20-59

RL: BSU [Riskort] OTHER SOURCE(S):

RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic

Page 8 12/17/2004

ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); PACT (Reactant or reagent); USES (Uses)
(Mannich base predrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinone
derivs.)
375387-20-5 CAPLUS
2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1(1-pyrrolidinylmethyl)-, (32)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

375798-47-3P 275798-48-4P 375798-49-5P
375798-50-8P
RL: SPN (Synthetic preparation): PREF (Preparation)
(Mannich base prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinone derivs.)
375798-47-3 CAPLUS
2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-1-[(2-hydroxy-1-pyrrolidinyl)methyl]-, (32)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

 $\begin{array}{lll} 375798-48-4 & CAPLUS \\ 2H-Indol-2-one, & 3-\left[\left(3,5-dimethyl-1H-pyrrol-2-yl\right)methylene\right]-1, & 3-dihydro-1-\left[\left(2-methyl-1-pyrrolidinyl\right)methyl]-, & (32)- & (CA INDEX NAME) \\ \end{array}$

Double bond geometry as shown.

L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

375798-49-5 CAPLUS
2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene)-1,3-dihydro-1[[2-(methoxymethyl)-1-pyrrolidinyl]methyl]-, (32)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

375798-50-8 CAPLUS
L-Proline, 1-[[(3Z)-3-[(3,5-dimethy1-1H-pyrrol-2-y1)methylene]-2,3-dihydro-2-oxo-1H-indol-1-y1]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Page 9 12/17/2004

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.08	192.14
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.20	-4.20

STN INTERNATIONAL LOGOFF AT 08:08:33 ON 17 DEC 2004